## WORLD INTELLECTUAL PROPERTY ORGANIZATION International Bureau



INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT) (51) International Patent Classification 7: (11) International Publication Number: WO 00/51567 A61K 9/16, 38/12, A61P 31/10 A1 (43) International Publication Date: 8 September 2000 (08.09.00) (21) International Application Number: PCT/US00/05547 (81) Designated States: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, (22) International Filing Date: 2 March 2000 (02.03.00) GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, (30) Priority Data: TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, ARIPO 60/122,693 3 March 1999 (03.03.99) patent (GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW), US Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR, (71) Applicant (for all designated States except US): ELI LILLY GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI patent (BF, AND COMPANY [US/US]; Lilly Corporate Center, Indi-BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, anapolis, IN 46285 (US). TD, TG). (72) Inventors; and (75) Inventors/Applicants (for US only): SCHWIER, John, Richard Published [US/US]; 5137 Green Hills Drive, Brownsburg, IN 46112 With international search report. (US). TAYLOR, Jerry [US/US]; 9933 Trevia Drive, Indi-Before the expiration of the time limit for amending the anapolis, IN 46236 (US). claims and to be republished in the event of the receipt of amendments. (74) Agents: LEHNHARDT, Susan, K. et al.; Morrison & Foerster LLP, 1290 Avenue of the Americas, New York, NY 10104-0012 (US). n Title: PROCESSES FOR MAKING PHARMACEUTICAL ORAL ECB FORMULATIONS AND COMPOSITIONS (57) Abstract A fluid bed spray process is described where one or more carbohydrates are incorporated into an echinocandin formulation to provide a significant improvement in thermal stability. The carbohydrate is solubilized with an echinocandin compound or echinocandin/carbohydrate complex in a solvent(s) to form a pharmaceutical solution which is sprayed onto the surface of a granular diluent or carrier. Alternatively, a granulating agent is added to the pharmaceutical solution which is then sprayed onto the surface of a non-granular diluent or carrier. The resulting granular oral formulations and medicaments derived therefrom are also described.